

## INTERNATIONAL SEARCH REPORT

International application No  
PCT/US2004/043114

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 C07F5/02 A61P35/00 A61K31/69

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07F A61P A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BIOSIS, EMBASE, BEILSTEIN Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	KUMAR S K ET AL: "Design, synthesis, and evaluation of novel boronic-chalcone derivatives" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 46, no. 14, 2003, pages 2813-2815, XPO02975732 ISSN: 0022-2623 table 1; compounds 3A,3B,3C,3D,3F,3G,7 page 2814, left-hand column ----- -/-	1-7,9-16
A Y	----- -----	8 1-7,9-16

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

3 May 2005

Date of mailing of the international search report

17/05/2005

Name and mailing address of the ISA

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## C(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BOUGET K ET AL: "HYDRAZINO-AZA AND N-AZAPEPTOIDS WITH THERAPEUTIC POTENTIAL AS ANTICANCER AGENTS" BIOORGANIC & MEDICINAL CHEMISTRY, ELSEVIER SCIENCE LTD, GB, vol. 11, no. 23, 17 November 2003 (2003-11-17), pages 4881-4889, XP001187599 ISSN: 0968-0896 compounds 11A,11B,11C	1-7,9-16
A		8
X	YANG W ET AL: "Boronic acid compounds as potential pharmaceutical agents" MEDICINAL RESEARCH REVIEWS, NEW YORK, NY, US, vol. 23, no. 3, 2003, pages 346-368, XP009030957 ISSN: 0198-6325	1-7,9-16
A	page 357, last paragraph - page 358, paragraph 1; figure 15; compound 42	8
Y	EP 0 947 511 A (F. HOFFMANN-LA ROCHE AG) 6 October 1999 (1999-10-06) page 7; claims 1,8,9; examples 2,4,12	1-7,9-16
A	WO 91/17749 A (BAYLOR COLLEGE OF MEDICINE) 28 November 1991 (1991-11-28) page 30, line 5 - line 6; claims 1,8	1-16
X	DICESARE N ET AL: "Chalcone-analogue fluorescent probes for saccharides signalling using the boronic acid group" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 43, no. 14, 1 April 2002 (2002-04-01), pages 2615-2618, XP004343966 ISSN: 0040-4039 compounds CHALC1, CHALC2	1-7,9-13
X	SERAFIN B ET AL: "Arylboronic Compounds - VIII" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 19, 1963, pages 821-826, XP002327007 ISSN: 0040-4020 page 824; table 1; compound IIA	1-7,9-13
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CATLIN J C ET AL: "Synthesis, Reactions, and Mass Spectral Studies of Some Cyclic Amine-Boranes and Their Catechol Derivatives" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY, EASTON, US, vol. 34, 1969, pages 1664-1668, XP002327008 ISSN: 0022-3263 compound 1B -----	1-7,9-13
X	CATLIN J C ET AL: "Preparation and Reactions of o-(Cyanomethyl)benzeneboronic Acid" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY, EASTON, US, vol. 34, 1969, pages 1660-1663, XP002327009 ISSN: 0022-3263 compound 12 -----	1-7,9-13
A	SNYDER H R ET AL: "Synthesis of Aromatic Boronic Acids. Aldehydo Boronic Acids and a Boronic Acid Analog of Tyrosine" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, DC, US, vol. 80, 1958, pages 835-838, XP002327010 ISSN: 0002-7863 page 836, right-hand column, paragraph 1; compound VII -----	1-7,9-13
X	FU J-M ET AL: "Connections to the directed ortho metalation strategy. Pd(0)-catalyzed cross coupling of aryl boronic acids with aryl triflates" TETRAHEDRON LETTERS 1990 UNITED KINGDOM, vol. 31, no. 12, 1990, pages 1665-1668, XP002327011 ISSN: 0040-4039 page 1667; compounds E,F -----	1-7,9-13
X	STRACHAN J P ET AL: "The preparation of some 1,7b-disubstituted cyclopropa(c)isoquinolines via nitrile ylide cyclisations and their rearrangement to 2-benzazepines and 4-alkenyl-1,4-dihydroisoquinolines" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1, CHEMICAL SOCIETY, LETCHWORTH, GB, vol. 13, 1998, pages 807-812, XP002327012 ISSN: 0300-922X compound 7 -----	1-7,9-13

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>YANG N ET AL: "Nickel-Catalyzed Cross-Couplings of Cyclohexenyl Phosphate and Arylboronic Acids"  TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL,  vol. 40, no. 17,  23 April 1999 (1999-04-23), pages 3321-3324, XP004162272  ISSN: 0040-4039  table 1; compound 4</p> <p>—</p>	1-7,9-13
X	<p>KOBAYASHI Y ET AL: "Zinc Borates: Functionalized Hard Nucleophiles for Coupling Reactions with Secondary Allylic Acetates"  EUROPEAN JOURNAL OF ORGANIC CHEMISTRY, WILEY-VCH, WEINHEIM, DE, 2000, pages 3825-3834, XP002327013  ISSN: 1434-193X  compound 21</p> <p>—</p>	1-7,9-13
X	<p>FALCK J R ET AL: "Bromo-boronolactonization of olefins."  THE JOURNAL OF ORGANIC CHEMISTRY. 19 OCT 2001,  vol. 66, no. 21,  19 October 2001 (2001-10-19), pages 7148-7150, XP002327014  ISSN: 0022-3263  compound 19</p> <p>—</p>	1-7,9-13
X	<p>FALCK J R ET AL: "Homocoupling of alkyl-, alkenyl-, and arylboronic acids"  TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL,  vol. 43, no. 45,  4 November 2002 (2002-11-04), pages 8149-8151, XP004387210  ISSN: 0040-4039  compound 23</p> <p>—</p>	1-7,9-13
X	<p>JIANG WEIQIN ET AL: "Furoyl and benzofuroyl pyrroloquinolones as potent and selective PDE5 inhibitors for treatment of erectile dysfunction."  JOURNAL OF MEDICINAL CHEMISTRY. 30 JAN 2003,  vol. 46, no. 3,  30 January 2003 (2003-01-30), pages 441-444, XP002327015  ISSN: 0022-2623  page 442, right-hand column; compounds 20Q,20R</p> <p>—</p>	1-7,9-13

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Int'l. Application No  
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE BEILSTEIN          BEILSTEIN CROSSFIRE INSTITUT ZUR          FOERDERUNG DER CHEMISCHEN WISSENSCHAFTEN,          DE; Citation Number 2508506; BRN 488408          1991,          XP002327019          abstract          &amp; SERAFIN ET AL: ROCZNIKI CHEMII ANNALES          SOCIETATIS CHIMICAE POLONORUM,          vol. 35, 1961, pages 359-362,          ISSN: 0035-7677</p> <hr/>	1-7,9-13
X	<p>ROBERTS D C ET AL: "PLURI POTENTIAL          AMINO-ACIDS I. L-P DI HYDROXYBORYLPHENYL          ALANINE AS A PRECURSOR OF L PHENYL ALANINE          AND L TYROSINE CONTAINING PEPTIDES          SPECIFIC TRITIATION OF L PHENYL ALANINE          CONTAINING PEPTIDES AT A FINAL STEP IN          SYNTHESIS"          TETRAHEDRON LETTERS,          vol. 21, no. 36, 1980, pages 3435-3438,          XP002327018          ISSN: 0040-4039          compound H-L-Bph-OEt</p> <hr/>	1-7,9-13
P,X	<p>WO 03/106384 A (JOHNS HOPKINS UNIVERSITY;          KHAN, SAEED, R., PH., D)          24 December 2003 (2003-12-24)          page 34, line 20; claims 1,15; examples;          table 1</p> <hr/>	1-16

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Information on patent family members

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Patent document cited in search report		Publication date		Patent family member(s)		Publication date
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